

IN THE CLAIMS

Please replace the text on page 1, lines 1-3 with the following text.

TITLE

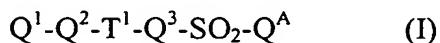
NOVEL SULFONYL DERIVATIVES

This application is a Divisional Application of 09/762,888, filed on February 12, 2001, which is a National Stage Application of International Application No. PCT/JP99/04344, filed August 11, 1999.

IN THE CLAIMS

Please amend the claims as follows:

Claim 1 (Currently Amended): A sulfonyl derivative represented by the following formula (I):

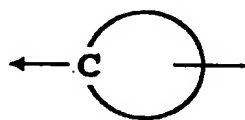


[[[]]]wherein Q^1 represents a saturated or unsaturated 5- or 6-membered cyclic hydrocarbon group which may have a substituent, a saturated or unsaturated 5- or 6-membered heterocyclic group which may have a substituent, a saturated or unsaturated dicyclic fused ring group which may have a substituent or a saturated or unsaturated tricyclic fused ring group which may have a substituent;

Q_2 represents a single bond, an oxygen atom, a sulfur atom, a linear or branched C_{1-6} alkylene group, a linear or branched C_{2-6} alkenylene group, a linear or branched C_{2-6} alkynylene group,

a group $-N(R^1)-CO-$ (in which R^1 represents a hydrogen atom or an alkyl group),

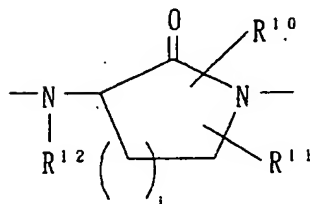
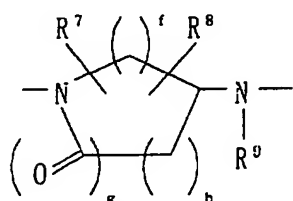
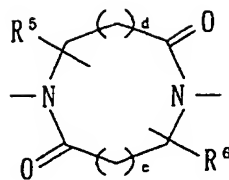
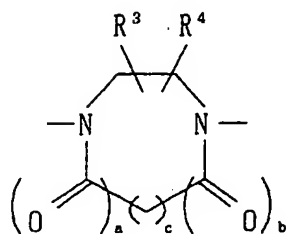
a group $-N(R^2)-(CH_2)_m-$ (in which R^2 represents a hydrogen atom or an alkyl group and m stands for an integer of 0 to 6), or a group of the following formula:



(which represents a divalent, saturated or unsaturated 5 or 6-membered cyclic hydrocarbon group which may have a substituent,

a divalent, saturated or unsaturated 5- or 6-membered heterocyclic group which may have a substituent, or a divalent, saturated or unsaturated dicyclic fused ring group which may have a substituent and $\leftarrow C$ means the bonding of the carbon atom of this group to Q^1),

Q^3 represents any one of the following groups:



(in which, when the carbon atom to which each of R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^{10} and R^{11} has been bonded is not adjacent to a nitrogen atom, R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^{10} and R^{11} each independently represents a hydrogen atom,

- a hydroxyl group,
- an alkyl group,
- an alkoxyl group,
- an alkoxyalkyl group,
- an alkoxyalkyloxy group,
- a hydroxyalkyl group,
- a hydroxyalkyloxy group,
- a hydroxyalkylcarbonyl group,
- a hydroxyalkylsulfonyl group,
- a formyl group,
- a formylalkyl group,
- a formylalkylcarbonyl group,
- a formylalkylsulfonyl group,
- an alkylcarbonyl group,

an alkylsulfonyl group,
an alkylcarbonylalkyl group,
an alkylsulfonylalkyl group,
a carboxyl group,
a carboxyalkyl group,
a carboxyalkyloxy group,
a carboxyalkylcarbonyl group,
a carboxyalkylsulfonyl group,
a carboxyalkylcarbonylalkyl group,
a carboxyalkylsulfonylalkyl group,
an alkoxycarbonyl group,
an alkoxycarbonylalkyl group,
an alkoxycarbonylalkyloxy group,
an alkoxycarbonylalkylcarbonyl group,
an alkoxycarbonylalkylcarbonyl group,
an amino group which may have one or two substituents,
an aminoalkyl group which may have, at the amino moiety thereof, one or two substituents,
an aminoalkyloxy group which may have, at the amino moiety thereof, one or two substituents,
an aminoalkylcarbonyl group which may have, at the amino moiety thereof, one or two substituents,
an aminoalkylcarbonyloxy group which may have, at the amino moiety thereof, one or two substituents,

an aminocarbonyl group which may have, at the amino moiety thereof, one or two substituents,

an aminocarbonylalkyl group which may have, at the amino moiety thereof, one or two substituents,

an aminocarbonylalkyloxy group which may have, at the amino moiety thereof, one or two substituents,

an alkylsulfonylaminocarbonylalkyl group which may have, at the amino moiety thereof, one substituent,

an arylsulfonylaminocarbonyl group which may have, at the amino moiety thereof, one substituent,

an aminosulfonylalkyl group which may have, at the amino moiety thereof, one or two substituents,

a cyanoalkyl group,

an alkoxyalkylaminocarbonylalkyl group which may have, at the amino moiety thereof, one substituent, or

a group A^1-B^1 - (in which A^1 represents a saturated or unsaturated 5- or 6-membered cyclic hydrocarbon group which may have a substituent or a saturated or unsaturated 5- or 6-membered heterocyclic group which may have a substituent and B^1 represents a single bond, a carbonyl group, an alkylene group, a carbonylalkyl group, a group $-O-C_{1-6}$ alkylene, a group $-COO-C_{1-6}$ alkylene, a group $-NHCO-$ or a group $-NHCO-$ (C_{1-6} alkylene) group),

when the carbon atom to which each of $R^3, R^4, R^5, R^6, R^7, R^8, R^{10}$ and R^{11} has been bonded is adjacent to a nitrogen atom, $R^3, R^4, R^5, R^6, R^7, R^8, R^{10}$ and R^{11} each independently represents

a hydrogen atom,

an alkyl group,

a hydroxyalkyl group,
a hydroxyalkylcarbonyl group,
a hydroxyalkylsulfonyl group,
a formyl group,
a formylalkyl group,
a formylalkylcarbonyl group,
a formylalkylsulfonyl group,
an alkylcarbonyl group,
an alkylsulfonyl group,
an alkylcarbonylalkyl group,
an alkylsulfonylalkyl group,
a carboxyl group,
a carboxyalkyl group,
a carboxyalkylcarbonyl group,
a carboxyalkylsulfonyl group,
a carboxyalkylcarbonylalkyl group,
a carboxyalkylsulfonylalkyl group,
an alkoxyalkyl group,
an alkoxy carbonyl group,
an alkoxy carbonylalkyl group,
an alkoxy carbonylalkylcarbonyl group,
an alkoxy carbonylalkylsulfonyl group,
an aminoalkyl group which may have, at the amino moiety thereof, one or two
substituents,

an aminoalkylcarbonyl group which may have, at the amino moiety thereof, one or two substituents,

an aminocarbonyl group which may have, at the amino moiety thereof, one or two substituents,

an aminocarbonylalkyl group which may have, at the amino moiety thereof, one or two substituents,

an alkylsulfonylaminocarbonylalkyl group which may have, at the amino moiety thereof, one substituent,

an arylsulfonylaminocarbonyl group which may have, at the amino moiety thereof, one substituent,

an aminosulfonylalkyl group which may have, at the amino moiety thereof, one or two substituents,

a cyanoalkyl group,

an alkoxyalkylaminocarbonylalkyl group which may have, at the amino moiety thereof, one substituent,

an alkylcarbonyloxyalkyl group, or

a group A^2-B^2 - (in which A^2 represents a saturated or unsaturated 5- or 6-membered cyclic hydrocarbon group which may have a substituent or a saturated or unsaturated 5- or 6-membered heterocyclic group which may have a substituent, and B^2 represents a single bond, a carbonyl group, an alkylene group, a carbonylalkyl group, a group -O- C_{1-6} alkylene group, a group -COO- C_{1-6} alkylene group, a group -NHCO- or a group -NHCO- C_{1-6} alkylene group),

each of R^3 and R^4 , R^5 and R^6 , R^7 and R^8 , and R^{10} and R^{11} may be coupled together with a carbon atom which constitutes the ring and represent a saturated or unsaturated 5- to 7-membered cyclic hydrocarbon group which may have a substituent or a saturated or

unsaturated 5- to 7-membered heterocyclic group which may have a substituent, R⁹ and R¹²

each independently represents:

- a hydrogen atom,
- an alkyl group,
- a hydroxyalkyl group,
- a hydroxyalkylcarbonyl group,
- a hydroxyalkylsulfonyl group,
- an alkoxyl group,
- an alkoxyalkyl group,
- an alkoxyalkylcarbonyl group,
- an alkoxyalkylsulfonyl group,
- a formyl group,
- a formylalkyl group,
- a formylalkylcarbonyl group,
- a formylalkylsulfonyl group,
- an alkylcarbonyl group,
- an alkylcarbonylalkyl group,
- an alkylsulfonyl group,
- an alkylsulfonylalkyl group,
- a carboxyalkyl group,
- a carboxyalkylcarbonyl group,
- a carboxyalkylsulfonyl group,
- a carboxyalkylcarbonylalkyl group,
- a carboxyalkylsulfonylalkyl group,
- an alkoxycarbonyl group,

- an alkoxycarbonylalkyl group,
- an alkoxycarbonylalkylcarbonyl group,
- an alkoxycarbonylalkylsulfonyl group,
- an amino group which may have one or two substituents,
- an aminoalkyl group which may have, at the amino moiety thereof, one or two substituents,
- an aminoalkyloxy group which may have, at the amino moiety thereof, one or two substituents,
- an aminoalkylcarbonyl group which may have, at the amino moiety thereof, one or two substituents,
- an aminoalkyloxycarbonyl group which may have, at the amino moiety thereof, one or two substituents,
- an aminocarbonyl group which may have, at the amino moiety thereof, one or two substituents,
- an aminocarbonylalkyl group which may have, at the amino moiety thereof, one or two substituents,
- an aminocarbonyloxyalkyl group which may have, at the amino moiety thereof, one or two substituents,
- an alkylsulfonylaminocarbonylalkyl group which may have, at the amino moiety thereof, one substituent,
- an arylsulfonylaminocarbonyl group which may have, at the amino moiety thereof, one substituent,
- an aminosulfonylalkyl group which may have, at the amino moiety thereof, one or two substituents,
- a cyanoalkyl group, or

an alkoxyalkylaminocarbonylalkyl group which may have, at the amino moiety thereof, one substituent,

R^9 and R^7 or R^8 may be coupled together with a carbon atom constituting the ring and a nitrogen atom to which R^9 has been bonded and represent a saturated or unsaturated 5- to 7-membered heterocyclic group which may have a substituent,

R^{12} and R^{10} or R^{11} may be coupled together with a carbon atom constituting the ring and a nitrogen atom to which R^{12} has been bonded and represent a saturated or unsaturated 5- to 7-membered heterocyclic group which may have a substituent,

a, b, d, e and g each independently stands for an integer of 0 or 1, c stands for an integer of 0 to 3, 0, 1, or 3, c stands for an integer 2 when a equals 0 and b equals 1 or when a equals 1 and b equals 0; and f, h and i each independently represents an integer of 1 to 3, with the proviso that the sum of a, b and c stands for an integer of 2 or 3, the sum of d and e stands for an integer of 0 or 1 and the sum of f, g and h stands for an integer of 3 to 5),

Q^A represents an arylalkenyl group which may have a substituent, a heteroarylalkenyl group which may have a substituent, a saturated or unsaturated dicyclic fused ring group which may have a substituent, a saturated or unsaturated tricyclic fused ring group which may have a substituent, a group $Ar-C(H)=N-$ (in which, Ar represents an aryl group which may have a substituent), or a group $HetC(H)=N-$ (in which, Het represents a heteroaryl group which may have a substituent), and

T^1 represents a carbonyl group,

a group $-CH(R^{13})-$

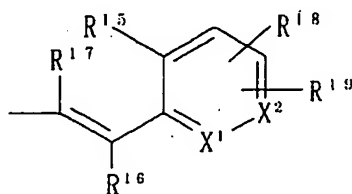
(in which R^{13} represents a hydrogen atom, an alkyl group, a hydroxyalkyl group having the hydroxyl group which may be protected, an alkoxyalkyl group, a carboxyalkyl group, an alkoxycarbonylalkyl group, an aryl group, an aralkyl group, a heteroaryl group, a

heteroarylalkyl group or an aminoalkyl group which may have, at the amino moiety thereof, a substituent (protecting group)), or

a group $-C(=NOR^{14})-$ or $-C(=N-NHR^{14'})-$

(in which R^{14} and $R^{14'}$ independently represent a hydrogen atom, an alkyl group, a carboxyalkyl group, an alkoxycarbonyl group, an aryl group, an aralkyl group, a heteroaryl group, a heteroarylalkyl group or an aminoalkyl group which may have, at the amino moiety thereof, a substituent)[[]], or salt thereof; or a solvate thereof.

Claim 2 (Currently Amended): A sulfonyl derivative according to claim 1, wherein in the formula (I), Q^A represents any one of the following groups:



[[]] wherein R^{15} represents a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, a halogen atom, an alkyl group, a hydroxyalkyl group, an alkoxyl group, an alkoxyalkyl group, a carboxyl group, a carboxyalkyl group, an alkylcarbonyl group, an alkoxycarbonyl group, an alkoxycarbonylalkyl group, an alkylcarbonyloxy group or a group A^3-B^3-

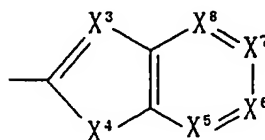
(wherein A^3 represents an amino group which may have one or two substituents, a saturated or unsaturated 5- or 6-membered cyclic hydrocarbon group which may have a substituent or a saturated or unsaturated 5- or 6-membered heterocyclic group which may have a substituent and B^3 represents a single bond, a carbonyl group, an alkylene group, a carbonylalkyl group, a carbonylalkyloxy group or an alkylencarbonyloxy group),

R^{16} and R^{17} each independently represents a hydrogen atom, a halogen atom, an alkyl group, a hydroxyalkyl group having a hydroxyl group which may be protected or an

alkoxyalkyl group, or R^{16} or R^{17} may be coupled together with R^{15} and represent a C_{1-3} alkylene or alkenylene group,

R^{18} and R^{19} each independently represents a hydrogen atom, a hydroxyl group, a halogen atom, a halogenoalkyl group, an alkyl group, an alkoxy group, an alkenyl group, an alkynyl group which may be substituted by an alkylsilyl group as a protecting group, a trifluoromethyl group, a cyano group, an amino group, an aminoalkyl group, an alkylaminoalkyl group, an amidino group, a hydroxyamidino group or an alkoxy-carbonylamidino group, with the proviso that R^{18} and R^{19} do not represent a hydrogen atom at the same time), and

X^1 and X^2 each independently represents a methine group or a nitrogen atom[[[]]].



[[[]]]wherein X^3 represents a nitrogen atom, or

a group $=C(R^{100})$

(wherein R^{100} represents a hydrogen atom, a halogen atom, an alkyl group, an alkoxy-carbonyl group, an aralkyloxy-carbonylalkyl group, an alkoxy-carbonylalkyl group, a nitro group, an amino group which may have a protecting group or an aminoalkyl group which may have, at the amino moiety thereof, a protecting group),

X^4 represents an oxygen atom, a sulfur atom or-a group $-N(R^{101})-$

(wherein R^{101} means a hydrogen atom, an alkyl group, an alkoxy-carbonyl group, an aralkyloxy-carbonyl group, an alkoxy-carbonylalkyl group, an alkylsulfonyl group or an arylsulfonyl group),

X^5 and X^8 each independently represents a nitrogen atom or

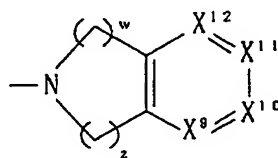
a group $-C(R^{102})-$

(wherein R^{102} represents a hydrogen atom or a halogen atom),

X^6 and X^7 each independently represents a nitrogen atom or

a group $-C(R^{103})-$

(wherein R^{103} represents a hydrogen atom, a hydroxyl group, a halogen atom, a halogenoalkyl group, an alkyl group, an alkoxyl group, an alkenyl group, an alkynyl group which may be substituted by an alkylsilyl group as a protecting group, a cyano group, an amino group, an aminoalkyl group, an alkylaminoalkyl group, an amidino group, a hydroxyamidino group or an alkoxycarbonylamidino group)[][]].



[][]] wherein X^9 and X^{12} each independently represents a nitrogen atom or

a group $-C(R^{104})-$

(wherein R^{104} represents a hydrogen atom or a halogen atom),

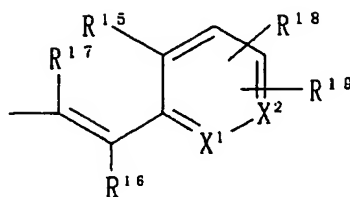
X^{10} and X^{11} each independently represents a nitrogen atom or

a group $-C(R^{105})-$

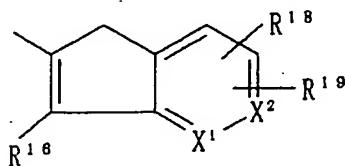
(wherein R^{105} represents a hydrogen atom, a hydroxyl group, a halogen atom, a halogenoalkyl group, an alkyl group, an alkoxyl group, an alkenyl group, an alkynyl group which may be substituted by an alkylsilyl group as a protecting group, a cyano group, an amino group, an aminoalkyl group, an alkylaminoalkyl group, an amidino group, a hydroxyamidino group or an alkoxycarbonylamidino group, and

w and z each independently represents an integer of 1 or 2[]], or salt thereof; or a solvate thereof.

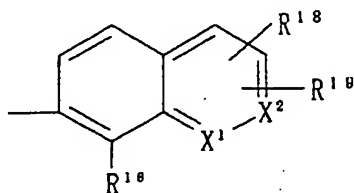
Claim 3 (Currently Amended): A sulfonyl derivative according to claim 2, wherein in the formula (I), the group:



means the following group:



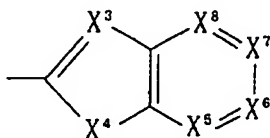
or



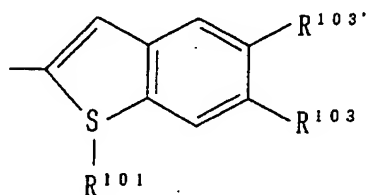
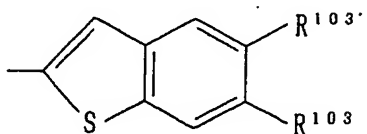
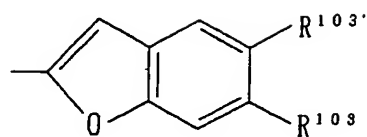
[[[[]]]in the above formulas, R¹⁶, R¹⁸, R¹⁹, X¹ and X² have the same meanings as defined above[[[[]]], or salt thereof; or a solvate thereof.

Claim 4 (Currently Amended): A sulfonyl derivative according to claim 2, ~~or 3~~, wherein R¹⁸ represents a halogen atom or an ethynyl group, or salt thereof; or a solvate thereof.

Claim 5 (Currently Amended): A sulfonyl derivative according to claim 2, wherein in the formula (I), the group:



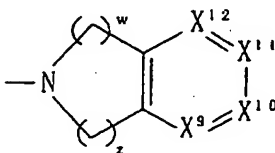
means any one of the following groups:



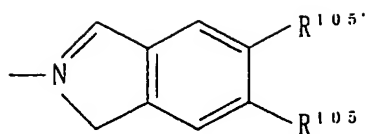
[[[]]] in the above formulas, R^{101} and R^{103} have the same meanings as defined above and $R^{103'}$ represents similar atoms or groups to R^{103} [[[]]], or salt thereof; or a solvate thereof.

Claim 6 (Original): A sulfonyl derivative according to claim 5, wherein either one of R^{103} and $R^{103'}$ represents a halogen atom or an ethynyl group, or salt thereof; or a solvate thereof.

Claim 7 (Currently Amended): A sulfonyl derivative according to claim 2, wherein in the formula (I), the group:



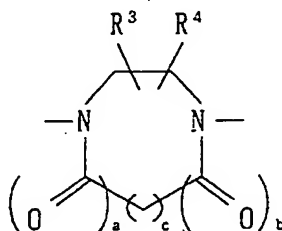
represents the following group:



[[[]]]wherein R^{105} has the same meaning as defined above and $R^{105'}$ represents similar atoms or groups to R^{105} [[[]]], or salt thereof; or a solvate thereof.

Claim 8 (Original): A sulfonyl derivative according to claim 7, wherein either one of R^{105} or $R^{105'}$ represents a halogen atom or an ethynyl group, or salt thereof; or a solvate thereof.

Claim 9 (Currently Amended): A sulfonyl derivative according to claim 1, ~~any one of claims 1 to 8~~, wherein Q^3 represents the group:



[[[]]]wherein R^3 , R^4 , a, b and c have the same meanings as defined above[[[]]] or salt thereof; or a solvate thereof.

Claim 10 (Currently Amended): A sulfonyl derivative according to claim 1, ~~any one of claims 1 to 9~~, wherein T^1 represents a carbonyl group or a group $-CH(R^{13})-$ (wherein R^{13} has the same meaning as defined above).

Claim 11 (Currently Amended): A sulfonyl derivative according to claim 1, ~~any one of claims 1 to 10~~, wherein Q^1 represents a cyclopentyl group which may have a substituent,

cyclohexyl group which may have a substituent, cyclopentenyl group which may have a substituent, cyclohexenyl group which may have a substituent, phenyl group which may have a substituent, pyrrolidinyl group which may have a substituent, piperidinyl group which may have a substituent, imidazolyl group which may have a substituent, thiazolyl group which may have a substituent, thiadiazolyl group which may have a substituent, pyridyl group which may have a substituent, pyrimidinyl group which may have a substituent, pyridazinyl group which may have a substituent, thiazolydinyl group which may have a substituent, morpholinyl group which may have a substituent, piperazinyl group which may have a substituent, thiomorpholinyl group which may have a substituent, pyrrolyl group which may have a substituent, thienyl group which may have a substituent, furanyl group which may have a substituent, tetrahydropyrimidinyl group which may have a substituent, tetrahydrofuranyl group which may have a substituent, tetrahydrothienyl group which may have a substituent, sulforanyl group which may have a substituent, imidazolinyl group which may have a substituent, thiazolinyl group which may have a substituent, oxazolyl group which may have a substituent, oxadiazinyl group which may have a substituent, triazinyl group which may have a substituent, tetrazinyl group which may have a substituent, pyrazinyl group which may have a substituent, pyrazolyl group which may have a substituent, pyrazolinyl group which may have a substituent, pyrazolidinyl group which may have a substituent, thienopyridyl group which may have a substituent, tetrahydrothienopyridyl group which may have a substituent, thiazolopyridyl group which may have a substituent, tetrahydrothiazolopyridyl group which may have a substituent, pyranothiazolyl group which may have a substituent, dihydropyranothiazolyl group which may have a substituent, thiazolopyridadinyl group which may have a substituent, tetrahydrothiazolopyridadinyl group which may have a substituent, furopyridyl group which may have a substituent, tetrahydrofuropyridyl group which may have a substituent,

oxazolopyridyl group which may have a substituent, and tetrahydrooxazolopyridyl group which may have a substituent.

Claim 12 (Original): A medicament comprising as an effective ingredient a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 13 (Original): An inhibitor for an activated coagulation factor X which comprises as an effective ingredient a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 14 (Original): A coagulation suppressor comprising as an effective ingredient a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 15 (Original): A preventive and/or remedy for thrombosis or embolism which comprises as an effective ingredient a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 16 (Original): A preventive and/or remedy for cerebral infarction, cerebral embolism, myocardial infarction, pulmonary infarction, pulmonary embolism, Buerger's disease, deep vein thrombosis, disseminated intravascular coagulation syndrome, thrombus formation after valve replacement, reocclusion after revascularization, formation of thrombus upon extracorporeal circulation or coagulation upon blood collection, which comprises as an effective ingredient a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 17 (Original): A pharmaceutical composition comprising a sulfonyl derivative or salt thereof, or a solvent thereof as claimed in any one of claims 1 to 11, and a pharmaceutically acceptable carrier.

Claims 18-22 (Cancelled).

Claim 23 (Original): A method for treating diseases caused by an activated coagulation factor X, which comprises administering a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 24 (Original): A treating method for coagulation inhibition, which comprises administering a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 25 (Original): A treating method of thrombosis or embolism, which comprises administering a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.

Claim 26 (Original): A treating method for cerebral infarction, cerebral embolism, myocardial infarction, pulmonary infarction, pulmonary embolism, Buerger's disease, deep vein thrombosis, disseminated intravascular coagulation syndrome, thrombus formation after valve replacement, reocclusion after revascularization, formation of thrombus upon extracorporeal circulation or coagulation upon blood collection, which comprises

administering a sulfonyl derivative or salt thereof, or a solvate thereof as claimed in any one of claims 1 to 11.